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| | | | |
|------|----|--------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | NOV 21 | CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present |
| NEWS | 3 | NOV 26 | MARPAT enhanced with FSORT command |
| NEWS | 4 | NOV 26 | CHEMSAFE now available on STN Easy |
| NEWS | 5 | NOV 26 | Two new SET commands increase convenience of STN searching |
| NEWS | 6 | DEC 01 | ChemPort single article sales feature unavailable |
| NEWS | 7 | DEC 12 | GBFULL now offers single source for full-text coverage of complete UK patent families |
| NEWS | 8 | DEC 17 | Fifty-one pharmaceutical ingredients added to PS |
| NEWS | 9 | JAN 06 | The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo |
| NEWS | 10 | JAN 07 | WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data |
| NEWS | 11 | FEB 02 | Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE |
| NEWS | 12 | FEB 02 | GENBANK enhanced with SET PLURALS and SET SPELLING |
| NEWS | 13 | FEB 06 | Patent sequence location (PSL) data added to USGENE |
| NEWS | 14 | FEB 10 | COMPENDEX reloaded and enhanced |
| NEWS | 15 | FEB 11 | WTEXTILES reloaded and enhanced |
| NEWS | 16 | FEB 19 | New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art |
| NEWS | 17 | FEB 19 | Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01 |
| NEWS | 18 | FEB 23 | Several formats for image display and print options discontinued in USPATFULL and USPAT2 |
| NEWS | 19 | FEB 23 | MEDLINE now offers more precise author group fields and 2009 MeSH terms |
| NEWS | 20 | FEB 23 | TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms |
| NEWS | 21 | FEB 23 | Three million new patent records blast AEROSPACE into STN patent clusters |
| NEWS | 22 | FEB 25 | USGENE enhanced with patent family and legal status display data from INPADOCDB |
| NEWS | 23 | MAR 06 | INPADOCDB and INPAFAMDB enhanced with new display formats |
| NEWS | 24 | MAR 11 | EPFULL backfile enhanced with additional full-text applications and grants |
| NEWS | 25 | MAR 11 | ESBIOBASE reloaded and enhanced |
| NEWS | 26 | MAR 20 | CAS databases on STN enhanced with new super role for nanomaterial substances |
| NEWS | 27 | MAR 23 | CA/CAPLUS enhanced with more than 250,000 patent |

equivalents from China

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009

=>

| => file reg | SINCE FILE | TOTAL |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.22 | 0.22 |

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009
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STRUCTURE FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2
DICTIONARY FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2

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<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading A:\10.530851.R1.Meutermans et al..SRNT.CAPLUS..str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1

STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 13:32:16 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7138 TO ITERATE

28.0% PROCESSED 2000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 137695 TO 147825
PROJECTED ANSWERS: 22111 TO 26283

L2 50 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 13:32:28 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 142816 TO ITERATE

100.0% PROCESSED 142816 ITERATIONS 23950 ANSWERS
SEARCH TIME: 00.00.02

L3 23950 SEA SSS FUL L1

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|----------------------|------------------|---------------|
| FULL ESTIMATED COST | 188.28 | 188.50 |

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

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FILE COVERS 1907 - 28 Mar 2009 VOL 150 ISS 14

FILE LAST UPDATED: 27 Mar 2009 (20090327/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM
L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

=> s l3

L4 8909 L3

=> s l4 and g (w) protein (w) coupled (w) receptor

3202732 G
2284371 PROTEIN
397732 COUPLED
797776 RECEPTOR
11324 G (W) PROTEIN (W) COUPLED (W) RECEPTOR

L5 2 L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR

=> d l5 ed ibib abs hitstr 1-2

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 19 Oct 2001

ACCESSION NUMBER: 2001:763315 CAPLUS

DOCUMENT NUMBER: 135:314480

TITLE: Polynucleotides and polypeptides for mammalian T2R
taste receptors and their uses

INVENTOR(S): Adler, Jon Elliot

PATENT ASSIGNEE(S): Senomyx, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

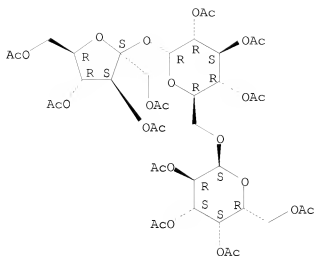
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001077676 | A1 | 20011018 | WO 2001-US10739 | 20010404 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2403003 | A1 | 20011018 | CA 2001-2403003 | 20010404 |
| EP 1292827 | A1 | 20030319 | EP 2001-924619 | 20010404 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003530098 | T | 20031014 | JP 2001-574481 | 20010404 |
| AU 2001251258 | B2 | 20080605 | AU 2001-251258 | 20010404 |

| | | | | | | |
|------------------------|---|----|----------|----|--------------|-------------|
| NO | 2002004809 | A | 20021209 | NO | 2002-4809 | 20021004 |
| MX | 2002009843 | A | 20040906 | MX | 2002-9843 | 20021004 |
| US | 20040209313 | A1 | 20041021 | US | 2003-724208 | 20031201 |
| US | 7399601 | B2 | 20080715 | | | |
| US | 20040248149 | A1 | 20041209 | US | 2003-724209 | 20031201 |
| US | 7393654 | B2 | 20080701 | | | |
| US | 20050069944 | A1 | 20050331 | US | 2004-986871 | 20041115 |
| US | 7396651 | B2 | 20080708 | | | |
| US | 20070059759 | A1 | 20070315 | US | 2006-599313 | 20061115 |
| US | 20070061902 | A1 | 20070315 | US | 2006-599318 | 20061115 |
| US | 20070061903 | A1 | 20070315 | US | 2006-599319 | 20061115 |
| US | 20070061904 | A1 | 20070315 | US | 2006-599346 | 20061115 |
| US | 20070061905 | A1 | 20070315 | US | 2006-599360 | 20061115 |
| US | 20070061906 | A1 | 20070315 | US | 2006-599392 | 20061115 |
| US | 20070065870 | A1 | 20070322 | US | 2006-599467 | 20061115 |
| US | 20070065871 | A1 | 20070322 | US | 2006-599472 | 20061115 |
| US | 20070065873 | A1 | 20070322 | US | 2006-599487 | 20061115 |
| AU | 2008200999 | A1 | 20080320 | AU | 2008-200999 | 20080303 |
| US | 20080305542 | A1 | 20081211 | US | 2008-122052 | 20080516 |
| US | 20090017537 | A1 | 20090115 | US | 2008-133155 | 20080604 |
| AU | 2008212000 | A1 | 20080925 | AU | 2008-212000 | 20080904 |
| PRIORITY APPLN. INFO.: | | | | US | 2000-195532P | P 20000407 |
| | | | | US | 2000-247014P | P 20001113 |
| | | | | AU | 2001-251258 | A3 20010404 |
| | | | | WO | 2001-US10739 | W 20010404 |
| | | | | US | 2001-825882 | A3 20010405 |
| | | | | AU | 2002-318229 | A3 20020710 |
| | | | | US | 2003-724208 | A3 20031201 |
| | | | | US | 2003-724209 | A1 20031201 |
| AB | Newly identified mammalian taste-cell-specific G protein-coupled receptors and cDNAs for said receptors are claimed. Specifically, human and mouse T2R taste G protein-coupled receptors that are believed to be involved in bitter taste sensation are described, along with methods for isolating genes encoding the same and for isolating and expressing such receptors. Methods for representing taste perception of a particular tastant in a mammal are also described, as are methods for generating a novel mols. or combinations of mols. that elicit a predetd. taste perception in a mammal, and methods for simulating one or more tastes. The identification and isolation of novel taste receptors and taste signaling mols. could allow for new methods of chemical and genetic modulation of taste transduction pathways. Identification of taste modulating compds. could be useful in the pharmaceutical and food industries to improve the taste of consumer products or to block undesirable tastes, for example bitter tastes, in certain products. | | | | | |
| IT | 6424-12-0, Raffinose undecaacetate | | | | | |
| | RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) | | | | | |
| | (ligand; polynucleotides and polypeptides for mammalian T2R taste receptors and their uses) | | | | | |
| RN | 6424-12-0 CAPLUS | | | | | |
| CN | α -D-Glucopyranoside, 1,3,4,6-tetra-O-acetyl- β -D-fructofuranosyl 0-2,3,4,6-tetra-O-acetyl- α -D-galactopyranosyl-(1-6)-, 2,3,4-triacetate (CA INDEX NAME) | | | | | |
| | Absolute stereochemistry. | | | | | |



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on SIN

ED Entered STN: 14 May 1998

ACCESSION NUMBER: 1998:275263 CAPLUS

DOCUMENT NUMBER: 128:257627

ORIGINAL REFERENCE NO.: 128:51003a,51006a

TITLE: Modulation of Receptor and Receptor Subtype Affinities Using Diastereomeric and Enantiomeric Monosaccharide Scaffolds as a Means to Structural and Biological Diversity. A New Route to Ether Synthesis
 AUTHOR(S): Hirschmann, Ralph; Hynes, John, Jr.; Cichy-Knight, Maria A.; van Rijn, Rachel D.; Sprengeler, Paul A.; Spoor, P. Grant; Shakespeare, William C.; Pietranico-Cole, Sherrie; Barbosa, Joseph; Liu, Josephine; Yao, Wenqing; Rohrer, Susan; Smith, Amos B., III

CORPORATE SOURCE: Department of Chemistry, University of Pennsylvania, Philadelphia, PA, 19104, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(9), 1382-1391

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB We show that carbohydrates constitute an attractive source of readily available, stereochem. defined scaffolds for the facile attachment of side chains contained in genetically encoded and other amino acids. β -D- And β -L-glucose, L-mannose, and the 6-deoxy-6-N-analog of β -D-glucose have been employed to synthesize peptido-mimetics that bind the SRIF receptors on AtT-20 mouse pituitary cells, five cloned human receptor subtypes (hSSTRs), and the NK-1 receptor. The affinity profile of various sugar-based ligands at the hSSTRs is compared with that of SRIF. Subtle structural changes affect affinities. The SARs of the glycosides at SRIF receptors differ markedly from those at the NK-1 receptor. A new method for the synthesis of base-sensitive ethers from primary and secondary alcs. is also described.

IT 132132-78-6 149831-71-0 170219-26-8

205440-97-7 205440-98-8 205440-99-9

205441-00-5 205441-01-6 205441-02-7

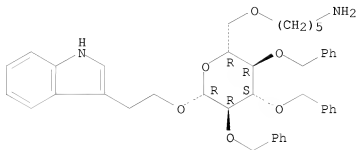
205441-04-9 205441-06-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(modulation of receptor and receptor subtype affinities using diastereomeric and enantiomeric monosaccharide scaffolds as a means to structural and biol. diversity)

RN 132132-78-6 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

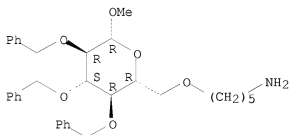
Absolute stereochemistry. Rotation (+).



RN 149831-71-0 CAPLUS

CN β -D-Glucopyranoside, methyl 6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

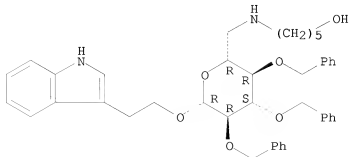
Absolute stereochemistry. Rotation (+).



RN 170219-26-8 CAPLUS

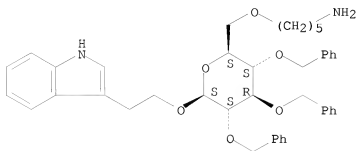
CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(5-hydroxypentyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



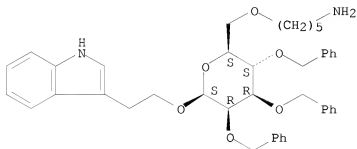
RN 205440-97-7 CAPLUS
 CN β -L-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
 6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



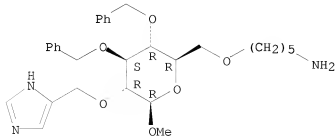
RN 205440-98-8 CAPLUS
 CN β -L-Mannopyranoside, 2-(1H-indol-3-yl)ethyl
 6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



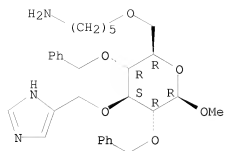
RN 205440-99-9 CAPLUS
 CN β -D-Glucopyranoside, methyl 6-O-(5-aminopentyl)-2-O-(1H-imidazol-4-ylmethyl)-3,4-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 205441-00-5 CAPLUS
 CN β -D-Glucopyranoside, methyl 6-O-(5-aminopentyl)-3-O-(1H-imidazol-4-ylmethyl)-2,4-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

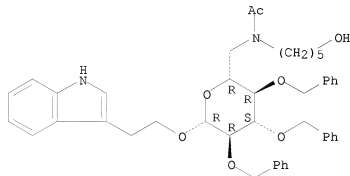
Absolute stereochemistry. Rotation (+).



RN 205441-01-6 CAPLUS

CN β-D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-[acetyl(5-hydroxypentyl)amino]-6-deoxy-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

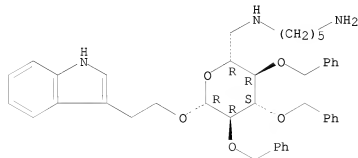
Absolute stereochemistry.



RN 205441-02-7 CAPLUS

CN β-D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-[(5-aminopentyl)amino]-6-deoxy-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

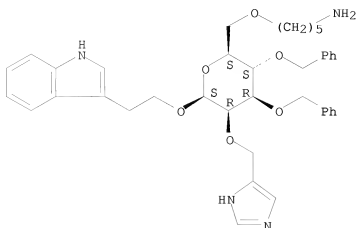
Absolute stereochemistry. Rotation (+).



RN 205441-04-9 CAPLUS

CN β-L-Mannopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(5-aminopentyl)-2-O-(1H-imidazol-4-ylmethyl)-3,4-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

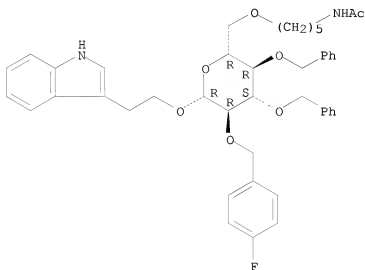
Absolute stereochemistry. Rotation (+).



RN 205441-06-1 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-[5-(acetylamino)pentyl]-2-O-[(4-fluorophenyl)methyl]-3,4-bis-O-
(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 170220-03-8

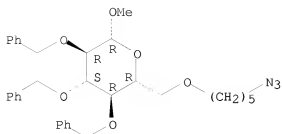
RL: PRP (Properties)

(modulation of receptor and receptor subtype affinities using
diastereomeric and enantiomeric monosaccharide scaffolds as a means to
structural and biol. diversity)

RN 170220-03-8 CAPLUS

CN β -D-Glucopyranoside, methyl 6-O-(5-azidopentyl)-2,3,4-tris-O-
(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM

L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3

L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR

=> s l3 and protein

8909 L3

2284371 PROTEIN

L6 429 L3 AND PROTEIN

=> s l6 and inhibit?

2126139 INHIBIT?

L7 102 L6 AND INHIBIT?

=> s l7 and pain

66609 PAIN

L8 4 L7 AND PAIN

=> d l8 ed ibib abs hitstr 1-4

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 22 May 2008

ACCESSION NUMBER: 2008:607923 CAPLUS

DOCUMENT NUMBER: 148:586080

TITLE: Preparation of aminodeoxy sugar sulfates as antiinflammatory and antiproliferative agents
Seed, Michael Peter; Burnet, Michael; Gutcke, Hans Juergen

INVENTOR(S): Diosamine Development Corporation, USA

PATENT ASSIGNEE(S): PCT Int. Appl., 115pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

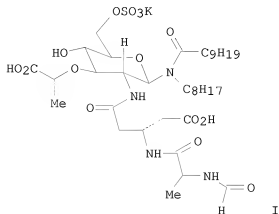
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|---|----|----------|-------------------|------------|
| WO 2008059003 | A1 | 20080522 | WO 2007-EP62355 | 20071114 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | GB 2006-22688 | A 20061114 |
| | | | GB 2007-11138 | A 20070611 |
| OTHER SOURCE(S): | | | MARPAT 148:586080 | |
| GI | | | | |



AB Title compds. were prepared and comprising: (i) at least one monosaccharide subunit comprising a glycosidic -NR₂, a glycosidic -NR₃, =NR, or a directly bonded =NR₂, wherein each R is independently hydrogen, a -SO-OR' or -SO-N(R')₂, a further monosaccharide subunit, or a hydrocarbonyl, or two or three Rs and the nitrogen atom to which they are attached, together form a further monosaccharide subunit or a cyclic hydrocarbonyl; and [ii] at least one sulfate, wherein a sulfate is a -O-SO₂-OR', -NR'-SO₂-OR', -O-SO₂-N(R')₂ or -NR'-SO₂-N(R')₂; wherein each R' is independently hydrogen, a metal, a further monosaccharide subunit, or a hydrocarbonyl; wherein each monosaccharide subunit independently is optionally substituted and/or optionally modified; and wherein each hydrocarbonyl independently is a substituted or unsubstituted, straight-chain, branched or cyclic alkyl, alkenyl, alkynyl, acyl, aryl, arylalkyl, arylalkenyl, arylalkynyl, alkylaryl, alkenylaryl or alkynylaryl which optionally includes one or more heteroatoms in its carbon skeleton. Such compds. may bind to a range of proteins, find application in methods of modifying, or testing for a modification in the level of a cytokine in vivo, ex vivo or in vitro, and find application in the treatment and/or prevention of inflammation, an inflammatory disorder, a proliferative disorder, an immune disorder, an angiogenesis-dependent disorder, a sensitivity disorder, an adverse endocrine reaction, a degenerative disorder, wound

healing, depression, and other diseases and disorders. Thus, monosaccharide I was prepared and tested as an antiinflammatory and antiproliferative agent.

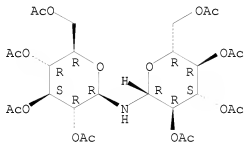
IT 63976-10-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminodeoxy sugar sulfates as antiinflammatory and antiproliferative agents)

RN 63976-10-3 CAPLUS

CN β -D-Glucopyranosylamine, N-(2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)-, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 28 Mar 2002

ACCESSION NUMBER: 2002:240217 CAPLUS

DOCUMENT NUMBER: 137:118909

TITLE: Drug design at peptide receptors: somatostatin receptor ligands

AUTHOR(S): Hannon, Jason P.; Nunn, Caroline; Stolz, Barbara; Bruns, Christian; Weckbecker, Gisbert; Lewis, Ian; Troxler, Thomas; Hurth, Konstanze; Hoyer, Daniel

CORPORATE SOURCE: Nervous System, Novartis Pharma AG, Basel, CH-4002, Switz.

SOURCE: Journal of Molecular Neuroscience (2002), 18(1/2), 15-27

CODEN: JMNEES; ISSN: 0895-8696

PUBLISHER: Humana Press Inc.

DOCUMENT TYPE: Journal; General Review

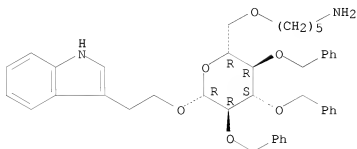
LANGUAGE: English

AB A review. Somatostatin (SRIF, somatotropin release inhibiting factor), discovered for its inhibitory action on growth hormone (GH) secretion from pituitary, is an abundant neuropeptide. Two forms, SRIF14 and SRIF28 exist. Recently, a second family of peptides with very similar sequences and features was described; the cortistatins (CST), CST17 and CST29 which are brain selective. The five cloned SRIF receptors (sst1-5) belong to the G-protein coupled/heptathelical receptor family. Structural and operational features distinguish two classes of receptors; SRIF1-sst2/sst3/sst5 (high affinity for octreotide or seglitide) and SRIF2-sst1/sst4 (very low affinity for the aforementioned ligands). The affinity of SRIF receptors for somatostatins and cortistatins is equally high, and it is not clear whether selective receptors do exist for one or the other of the peptides. Several radioligands label all SRIF receptors, e.g., [125I] LTT-SRIF28, [125I] CGP23996, [125I] Tyr10cortistatin or [125I] Tyr11SRIF14. In contrast, [125I] Tyr3octreotide, [125I] BIM23027, [125I] MK678 or [125I]

D-Trp8SRIF14 label predominantly SRIF1 sites, especially sst2 and possibly sst5 receptors. In brain, [125I]Tyr3octreotide binding equates with sst2 receptor mRNA distribution. Native SRIF2 receptors can be labeled with [125I]SRIF14 in the presence of high NaCl in brain (sst1) or lung (sst4) tissue. Short cyclic or linear peptide analogs show selectivity for sst2/sst5 (octreotide, lanreotide, BIM 23027), sst1 (CH-275), sst3 (sst3-ODN-8), or sst5 receptors (BIM 23268); although claims for selectivity have not always been confirmed. Beta peptides with affinity for SRIF receptors are also reported. The general lack of SRIF receptor antagonists is unique for peptide receptors, although CYN 154806 is a selective and potent sst2 antagonist. Nonpeptide ligands are still rare, although a number of mols. have been reported with selectivity and potency for sst1 (L757,519), sst2 (L779,976), sst3 (L796,778), sst4 (NNC 26-9100, L803,087) or sst1/sst5 receptors (L817,018). Such mols. are essential to establish the role of SRIF receptors, e.g., sst1 in hypothalamic glutamate currents: sst2 in inhibiting release of GH, glucagon, TSH, gastric acid secretion, pain, seizures and tumor growth, and sst5 in vascular remodeling and inhibition of insulin and GH release.

IT 132132-78-6
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (drug design at peptide receptors for somatostatin receptor ligands)
 RN 132132-78-6 CAPLUS
 CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
 6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 30 Sep 1998

ACCESSION NUMBER: 1998:618388 CAPLUS

DOCUMENT NUMBER: 129:245490

ORIGINAL REFERENCE NO.: 129:50001a,50004a

TITLE: Preparation of carbohydrate and cyclopeptide mimetics binding to G-protein-linked receptors

INVENTOR(S): Hirschmann, Ralph F.; Sprengeler, Paul; Yao, Wenging

PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, USA

SOURCE: U.S., 69 pp., Cont.-in-part of U.S. 5,552,534.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
|------------|------|------|-----------------|------|

| | | | | |
|------------|----|----------|----------------|----------|
| US 5811512 | A | 19980922 | US 1996-588773 | 19960119 |
| US 5552534 | A | 19960903 | US 1993-144660 | 19931028 |
| WO 9728172 | A1 | 19970807 | WO 1997-US1097 | 19970117 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

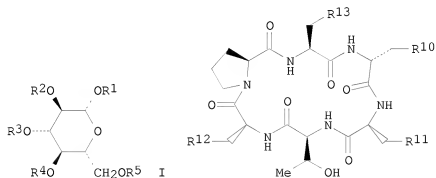
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|------------|---|----------|---------------|----------|
| AU 9717538 | A | 19970822 | AU 1997-17538 | 19970117 |
|------------|---|----------|---------------|----------|

PRIORITY APPLN. INFO.:

| | | |
|----------------|----|----------|
| US 1991-748826 | B2 | 19910822 |
| US 1993-144660 | A2 | 19931028 |
| US 1996-588773 | A | 19960119 |
| WO 1997-US1097 | W | 19970117 |

OTHER SOURCE(S): MARPAT 129:245490

GI



AB Compds. are provided which are crossreactive with peptides such as those which bind G-protein-linked receptors, together with preparative and therapeutic methods therefor. Hexose derivs. I [R1 = Me, 3-indolylethyl; R2, R3 = PhCH2; R4 = H, PhCH2; R5 = CH2OH, (CH2)5NH2, (CH2)5NHAc] bearing functional groups which cross-react with peptides which bind G-protein-linked receptors, such as substance P receptors, are prepared for use in treatment of diseases characterized by the presence of excess tachykinin. These diseases include central nervous disorders (e.g. Alzheimer's disease), respiratory diseases (e.g. asthma), inflammatory diseases (e.g. rheumatoid arthritis), adverse immunol. reactions (e.g. transplant rejection), gastrointestinal disorders (e.g. ulcerative colitis), and pain (e.g. migraine). In another aspect, the invention claims cyclic hexapeptides II (R10 = indolyl; R11 = H, CHMe2, Ph, C6H4OH-4, C6H4OMe-4, fluorophenyl; R12 = Ph; R13 = OH, CO2H, H, indolyl, Ph, CH2Ph, cyclohexyl, naphthyl). Thus, side-chain protected linear hexapeptides were prepared by solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc) protection on a chlorotrityl polystyrene resin, cleaved from the resin with 0.25% CF3CO2H in CH2Cl2, cyclized with DPPA in DMF, and deprotected with CF3CO2H to give desired cyclohexapeptides II. Eighteen II were tested as inhibitors of HIV-1 protease, showing IC50 values of 9000 to 2.8 nM, with II (R10 = 3-indolyl, R11 = C6H4F-4, R12 = Ph, R13 = 1-naphthyl) being the most active.

IT 132132-78-6P 144191-86-6P 149831-66-3P

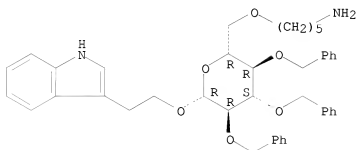
149831-67-4P 149831-71-0P 149831-93-6P
155044-80-7P 164026-07-7P 170219-19-9P
170219-20-2P 170219-26-8P 170219-27-9P
170219-28-0P 183050-66-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbohydrate and cyclopeptide mimetics binding to G-protein-linked receptors)

RN 132132-78-6 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

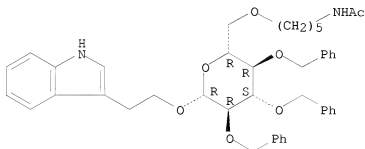
Absolute stereochemistry. Rotation (+).



RN 144191-86-6 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-[5-(acetylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

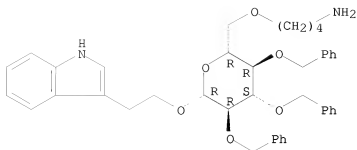
Absolute stereochemistry.



RN 149831-66-3 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(4-aminobutyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

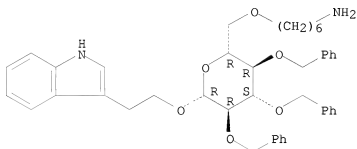
Absolute stereochemistry.



RN 149831-67-4 CAPLUS

CN β-D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(6-aminoethyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

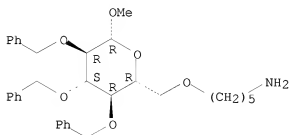
Absolute stereochemistry. Rotation (+).



RN 149831-71-0 CAPLUS

CN β-D-Glucopyranoside, methyl 6-O-(5-aminopentyl)-2,3,4-tris-O-
(phenylmethyl)- (CA INDEX NAME)

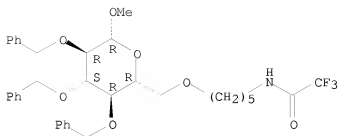
Absolute stereochemistry. Rotation (+).



RN 149831-93-6 CAPLUS

CN β-D-Glucopyranoside, methyl 2,3,4-tris-O-(phenylmethyl)-6-O-[5-
[(trifluoroacetyl)amino]pentyl]- (9CI) (CA INDEX NAME)

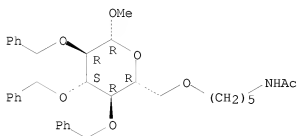
Absolute stereochemistry.



RN 155044-80-7 CAPLUS

CN β-D-Glucopyranoside, methyl 6-O-[5-(acetylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

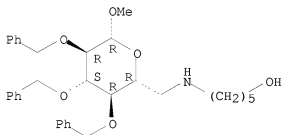
Absolute stereochemistry.



RN 164026-07-7 CAPLUS

CN β-D-Glucopyranoside, methyl 6-deoxy-6-[(5-hydroxypentyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

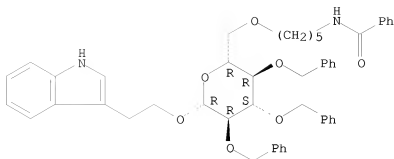
Absolute stereochemistry. Rotation (+).



RN 170219-19-9 CAPLUS

CN β-D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl 6-O-[5-(benzoylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

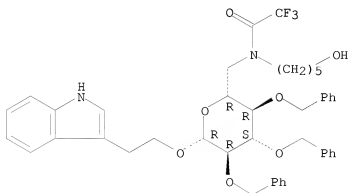
Absolute stereochemistry. Rotation (+).



RN 170219-20-2 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(5-hydroxypentyl)(trifluoroacetyl)amino]-2,3,4-tris-O-
(phenylmethyl)- (9CI) (CA INDEX NAME)

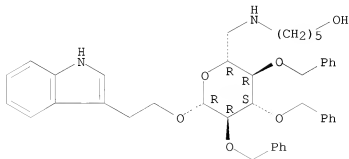
Absolute stereochemistry. Rotation (+).



RN 170219-26-8 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(5-hydroxypentyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX
NAME)

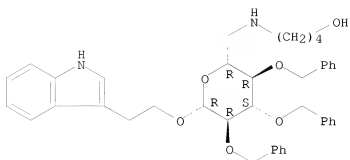
Absolute stereochemistry. Rotation (+).



RN 170219-27-9 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(4-hydroxybutyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX
NAME)

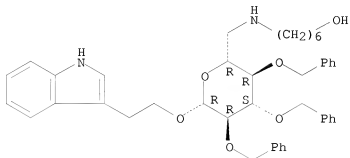
Absolute stereochemistry.



RN 170219-28-0 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(6-hydroxyhexyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX
NAME)

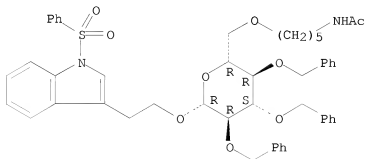
Absolute stereochemistry. Rotation (-).



RN 183050-66-0 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-[5-(acetylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)-
 β -D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).



IT 149831-99-2P 170219-35-9P 170219-61-1P

170219-82-6P 170219-83-7P 170219-85-9P

170219-87-1P 170220-03-8P 183051-10-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

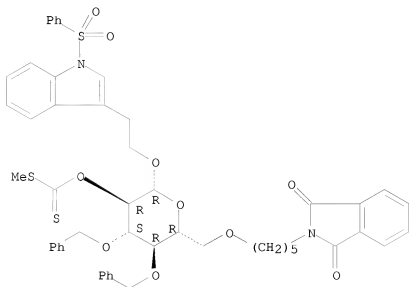
(preparation of carbohydrate and cyclopeptide mimetics binding to G-

protein-linked receptors)

RN 149831-99-2 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-[5-(1,3-dihydro-1,3-dioxo-2H-isindol-2-yl)pentyl]-2-O-[(methylthio)thioxomethyl]-3,4-bis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

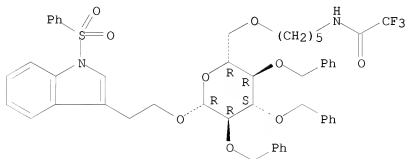
Absolute stereochemistry.



RN 170219-35-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-3-[2-[[2,3,4-tris-O-(phenylmethyl)-6-O-[5-[(trifluoroacetyl)amino]pentyl]-β-D-glucopyranosyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

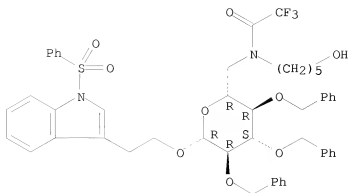
Absolute stereochemistry.



RN 170219-61-1 CAPLUS

CN 1H-Indole, 3-[2-[[6-deoxy-6-[(5-hydroxypentyl)(trifluoroacetyl)amino]-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

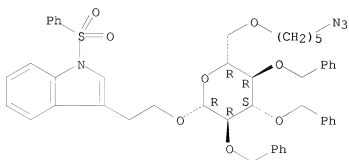
Absolute stereochemistry.



RN 170219-82-6 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(5-azidopentyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

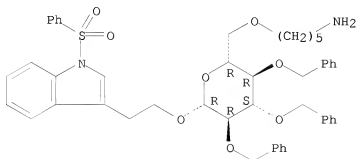
Absolute stereochemistry. Rotation (+).



RN 170219-83-7 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

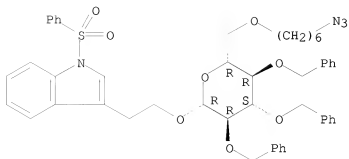
Absolute stereochemistry. Rotation (+).



RN 170219-85-9 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(6-azidohexyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

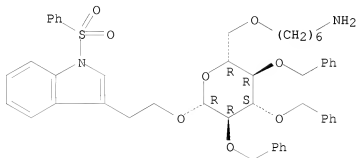
Absolute stereochemistry. Rotation (-).



RN 170219-87-1 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(6-aminohexyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

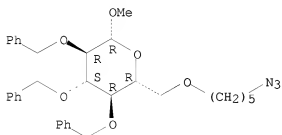
Absolute stereochemistry. Rotation (-).



RN 170220-03-8 CAPLUS

CN β-D-Glucopyranoside, methyl 6-O-(5-azidopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

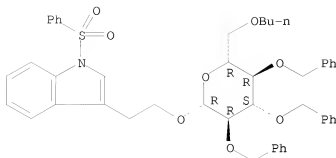
Absolute stereochemistry. Rotation (+).



RN 183051-10-7 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-butyl-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 28 Sep 1996

ACCESSION NUMBER: 1996:577852 CAPLUS

DOCUMENT NUMBER: 125:317374

ORIGINAL REFERENCE NO.: 125:59139a,59142a

TITLE: Nonpeptide peptidomimetics binding to G-protein-linked receptors

INVENTOR(S): Hirschmann, Ralph F.; Nicolaou, Kyriacos C.; Pietranico, Sherrie; Reisine, T. R.; Salvino, Joseph M.; Sprengeler, Paul; Strader, Catherine D.

PATENT ASSIGNEE(S): The Trustees of the University of Pennsylvania, USA

SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 748,826, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

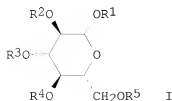
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-----------------|
| US 5552534 | A | 19960903 | US 1993-144660 | 19931028 |
| CA 2175195 | A1 | 19950504 | CA 1994-2175195 | 19941026 |
| WO 9511686 | A1 | 19950504 | WO 1994-US12233 | 19941026 |
| W: CA, JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 728007 | A1 | 19960828 | EP 1994-932029 | 19941026 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5811512 | A | 19980922 | US 1996-588773 | 19960119 |
| PRIORITY APPLN. INFO.: | | | | B2 19910822 |
| | | | | US 1991-748826 |
| | | | | US 1993-144660 |
| | | | | A 19931028 |
| | | | | WO 1994-US12233 |
| | | | | W 19941026 |

OTHER SOURCE(S): MARPAT 125:317374

GI



AB Hexose derivs. [I; R1 = Me, 3-indolyethyl; R2, R3 = PhCH2; R4 = H, PhCH2; R5 = CH2OH, (CH2)5NH2, (CH2)5NHCOMe] bearing functional groups which cross-react with peptides which bind G-protein-linked receptors, such as substance P receptors, are prepared for use in treatment of diseases characterized by the presence of excess tachykinin. These diseases include central nervous disorders (e.g. Alzheimer's disease), respiratory diseases (e.g. asthma), inflammatory diseases (e.g. rheumatoid arthritis), adverse immunol. reactions (e.g. transplant rejection), gastrointestinal disorders (e.g. ulcerative colitis), and pain (e.g. migraine). Thus, I [R1 = 2-(1-phenylsulfonylindol-3-yl)ethyl, R2-R4 = PhCH2, R5 = (CH2)5NH2] inhibited binding of substance P to the human neurokinin-1 receptor (expressed in COS cells) with an IC50 of 120 nM.

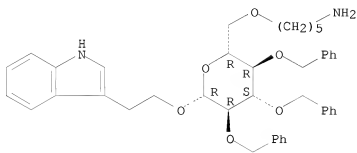
IT 132132-78-6P 144191-86-6P 149831-66-3P
149831-67-4P 149831-71-0P 149831-93-6P
155044-80-7P 164026-07-7P 170219-19-9P
170219-20-2P 170219-26-8P 170219-27-9P
170219-28-0P 183050-66-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nonpeptide peptidomimetics binding to G-protein-linked receptors)

RN 132132-78-6 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

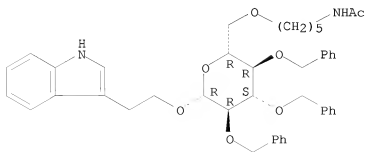
Absolute stereochemistry. Rotation (+).



RN 144191-86-6 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-[5-(acetamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

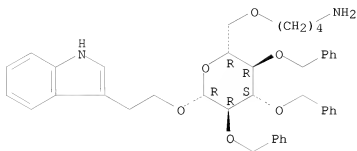
Absolute stereochemistry.



RN 149831-66-3 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(4-aminobutyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

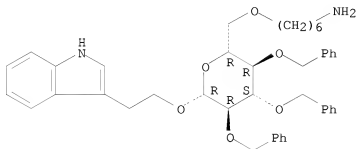
Absolute stereochemistry.



RN 149831-67-4 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-O-(6-aminoheptyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

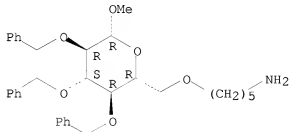
Absolute stereochemistry. Rotation (+).



RN 149831-71-0 CAPLUS

CN β -D-Glucopyranoside, methyl 6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

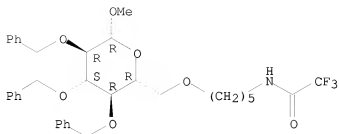
Absolute stereochemistry. Rotation (+).



RN 149831-93-6 CAPLUS

CN β -D-Glucopyranoside, methyl 2,3,4-tris-O-(phenylmethyl)-6-O-[5-
[(trifluoroacetyl)amino]pentyl]- (9CI) (CA INDEX NAME)

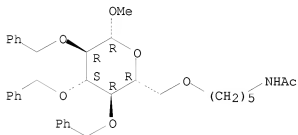
Absolute stereochemistry.



RN 155044-80-7 CAPLUS

CN β-D-Glucopyranoside, methyl 6-O-[5-(acetylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

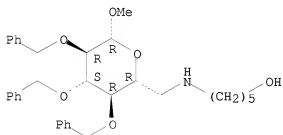
Absolute stereochemistry.



RN 164026-07-7 CAPLUS

CN β-D-Glucopyranoside, methyl 6-deoxy-6-[(5-hydroxypentyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

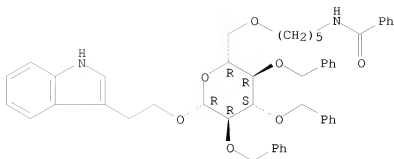
Absolute stereochemistry. Rotation (+).



RN 170219-19-9 CAPLUS

CN β-D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl 6-O-[5-(benzoylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

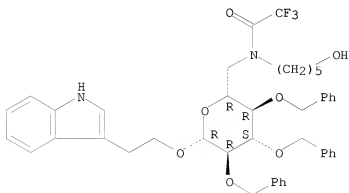
Absolute stereochemistry. Rotation (+).



RN 170219-20-2 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(5-hydroxypentyl)(trifluoroacetyl)amino]-2,3,4-tris-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

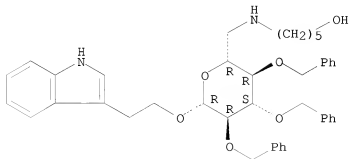
Absolute stereochemistry. Rotation (+).



RN 170219-26-8 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(5-hydroxypentyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

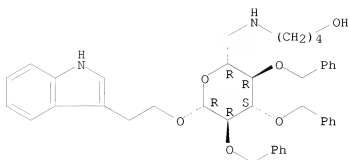
Absolute stereochemistry. Rotation (+).



RN 170219-27-9 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(4-hydroxybutyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

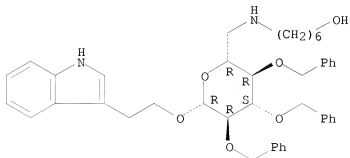
Absolute stereochemistry.



RN 170219-28-0 CAPLUS

CN β -D-Glucopyranoside, 2-(1H-indol-3-yl)ethyl
6-deoxy-6-[(6-hydroxyhexyl)amino]-2,3,4-tris-O-(phenylmethyl)- (CA INDEX
NAME)

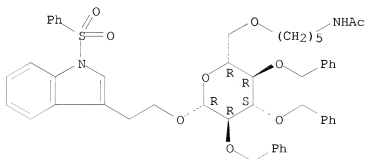
Absolute stereochemistry. Rotation (-).



RN 183050-66-0 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-[5-(acetylamino)pentyl]-2,3,4-tris-O-(phenylmethyl)-
 β -D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX
NAME)

Absolute stereochemistry. Rotation (+).



IT 149831-99-2P 170219-35-9P 170219-61-1P

170219-82-6P 170219-83-7P 170219-85-9P

170219-87-1P 170220-03-8P 183051-10-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

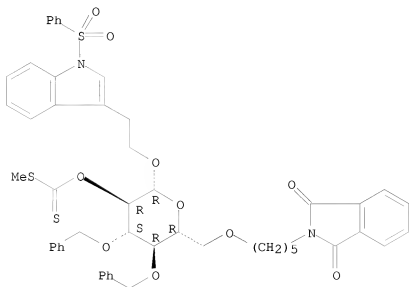
(nonpeptide peptidomimetics binding to G-protein-linked

receptors)

RN 149831-99-2 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-[5-(1,3-dihydro-1,3-dioxo-2H-isindol-2-yl)pentyl]-2-O-[(methylthio)thioxomethyl]-3,4-bis-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

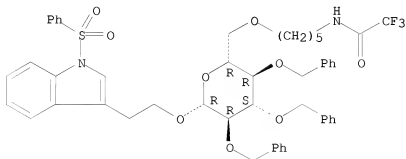
Absolute stereochemistry.



RN 170219-35-9 CAPLUS

CN 1H-Indole, 1-(phenylsulfonyl)-3-[2-[[2,3,4-tris-O-(phenylmethyl)-6-O-[5-[(trifluoroacetyl)amino]pentyl]-β-D-glucopyranosyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

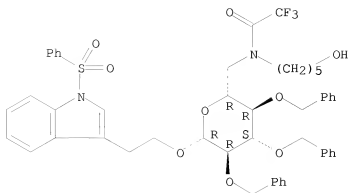
Absolute stereochemistry.



RN 170219-61-1 CAPLUS

CN 1H-Indole, 3-[2-[[6-deoxy-6-[(5-hydroxypentyl)(trifluoroacetyl)amino]-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

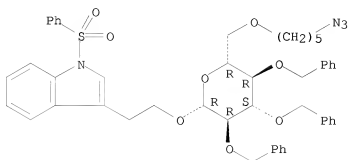
Absolute stereochemistry.



RN 170219-82-6 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(5-azidopentyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

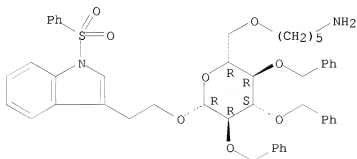
Absolute stereochemistry. Rotation (+).



RN 170219-83-7 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(5-aminopentyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

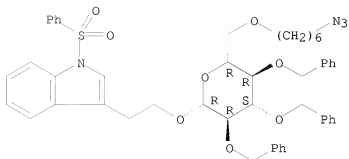
Absolute stereochemistry. Rotation (+).



RN 170219-85-9 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(6-azidohexyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

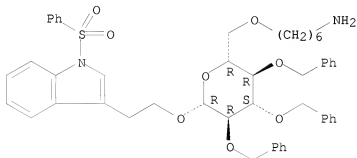
Absolute stereochemistry. Rotation (-).



RN 170219-87-1 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-(6-aminohexyl)-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

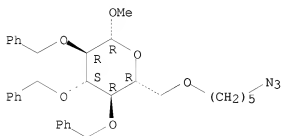
Absolute stereochemistry. Rotation (-).



RN 170220-03-8 CAPLUS

CN β-D-Glucopyranoside, methyl 6-O-(5-azidopentyl)-2,3,4-tris-O-(phenylmethyl)- (CA INDEX NAME)

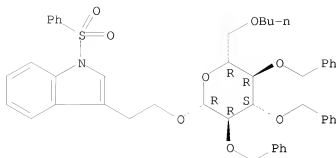
Absolute stereochemistry. Rotation (+).



RN 183051-10-7 CAPLUS

CN 1H-Indole, 3-[2-[[6-O-butyl-2,3,4-tris-O-(phenylmethyl)-β-D-glucopyranosyl]oxy]ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM
L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3
L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR
L6 429 S L3 AND PROTEIN
L7 102 S L6 AND INHIBIT?
L8 4 S L7 AND PAIN

=> s l3 and gpccr

8909 L3
4534 GPCR

L9 1 L3 AND GPCR

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L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ED Entered STN: 19 Oct 2001

ACCESSION NUMBER: 2001:763315 CAPLUS

DOCUMENT NUMBER: 135:314480

TITLE: Polynucleotides and polypeptides for mammalian T2R taste receptors and their uses

INVENTOR(S): Adler, Jon Elliot

PATENT ASSIGNEE(S): Senomyx, Inc., USA

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

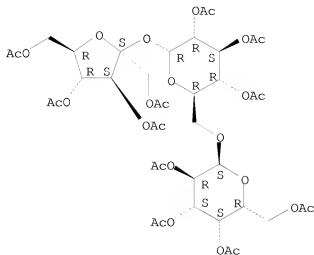
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2001077676 | A1 | 20011018 | WO 2001-US10739 | 20010404 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, | | | | |

| | | | |
|------------------------|---|----|-----------------------------------|
| | LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | |
| CA | 2403003 | A1 | 20011018 CA 2001-2403003 20010404 |
| EP | 1292827 | A1 | 20030319 EP 2001-924619 20010404 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | |
| JP | 2003530098 | T | 20031014 JP 2001-574481 20010404 |
| AU | 2001251258 | B2 | 20080605 AU 2001-251258 20010404 |
| NO | 2002004809 | A | 20021209 NO 2002-4809 20021004 |
| MX | 2002009843 | A | 20040906 MX 2002-9843 20021004 |
| US | 20040209313 | A1 | 20041021 US 2003-724208 20031201 |
| US | 7399601 | B2 | 20080715 |
| US | 20040248149 | A1 | 20041209 US 2003-724209 20031201 |
| US | 7393654 | B2 | 20080701 |
| US | 20050069944 | A1 | 20050331 US 2004-986871 20041115 |
| US | 7396651 | B2 | 20080708 |
| US | 20070059759 | A1 | 20070315 US 2006-599313 20061115 |
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| US | 20070061904 | A1 | 20070315 US 2006-599346 20061115 |
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| US | 20070061906 | A1 | 20070315 US 2006-599392 20061115 |
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| US | 20080305542 | A1 | 20081211 US 2008-122052 20080516 |
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| AU | 2008212000 | A1 | 20080925 AU 2008-212000 20080904 |
| PRIORITY APPLN. INFO.: | | | US 2000-195532P P 20000407 |
| | | | US 2000-247014P P 20001113 |
| | | | AU 2001-251258 A3 20010404 |
| | | | WO 2001-US10739 W 20010404 |
| | | | US 2001-825882 A3 20010405 |
| | | | AU 2002-318229 A3 20020710 |
| | | | US 2003-724208 A3 20031201 |
| | | | US 2003-724209 A1 20031201 |
| AB | Newly identified mammalian taste-cell-specific G protein-coupled receptors and cDNAs for said receptors are claimed. Specifically, human and mouse T2R taste G protein-coupled receptors that are believed to be involved in bitter taste sensation are described, along with methods for isolating genes encoding the same and for isolating and expressing such receptors. Methods for representing taste perception of a particular tastant in a mammal are also described, as are methods for generating a novel mols. or combinations of mols. that elicit a predetd. taste perception in a mammal, and methods for simulating one or more tastes. The identification and isolation of novel taste receptors and taste signaling mols. could allow for new methods of chemical and genetic modulation of taste transduction pathways. Identification of taste modulating compds. could be useful in the pharmaceutical and food industries to improve the taste of consumer products or to block undesirable tastes, for example bitter tastes, in certain products. | | |
| IT | 6424-12-0, Raffinose undecaacetate RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) (ligand; polynucleotides and polypeptides for mammalian T2R taste receptors and their uses) | | |

RN 6424-12-0 CAPLUS
 CN α -D-Glucopyranoside, 1,3,4,6-tetra-O-acetyl- β -D-fructofuranosyl
 0-2,3,4,6-tetra-O-acetyl- α -D-galactopyranosyl-(1 \rightarrow 6)-,
 2,3,4-triacetate (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED
 L2 50 S L1 SSS SAM
 L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3
 L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR
 L6 429 S L3 AND PROTEIN
 L7 102 S L6 AND INHIBIT?
 L8 4 S L7 AND PAIN
 L9 1 S L3 AND GPCR

=> file reg

| | | |
|--|------------------|---------------|
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
| | 73.40 | 261.90 |
| FULL ESTIMATED COST | | |
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STRUCTURE FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2
DICTIONARY FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2

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=>

Uploading A:\10.530851.R1a.Meutermans et al..SRNT.CAPLUS..str

L10 STRUCTURE UPLOADED

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L10 HAS NO ANSWERS

L10 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:52:33 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED 59 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 720 TO 1640

PROJECTED ANSWERS: 0 TO 0

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FULL SEARCH INITIATED 13:52:42 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1332 TO ITERATE

100.0% PROCESSED 1332 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

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=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

| | | |
|--|------------------|---------------|
| FULL ESTIMATED COST | 186.36 | 448.26 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -5.74 |

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FILE COVERS 1907 - 28 Mar 2009 VOL 150 ISS 14
 FILE LAST UPDATED: 27 Mar 2009 (20090327/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED
 L2 50 S L1 SSS SAM
 L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3
 L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR
 L6 429 S L3 AND PROTEIN
 L7 102 S L6 AND INHIBIT?
 L8 4 S L7 AND PAIN
 L9 1 S L3 AND GPCR

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 L12 0 S L10 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:52:54 ON 28 MAR 2009

=> s l12

L13 0 L12

| | | |
|--|------------|---------|
| => file reg | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 2.50 | 450.76 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -5.74 |

FILE 'REGISTRY' ENTERED AT 13:55:42 ON 28 MAR 2009
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STRUCTURE FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2
 DICTIONARY FILE UPDATES: 27 MAR 2009 HIGHEST RN 1128305-29-2

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

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L14 STRUCTURE UPLOADED

=> s l14 sss sam
 SAMPLE SEARCH INITIATED 13:56:10 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 1114 TO 2206
 PROJECTED ANSWERS: 0 TO 0

L15 0 SEA SSS SAM L14

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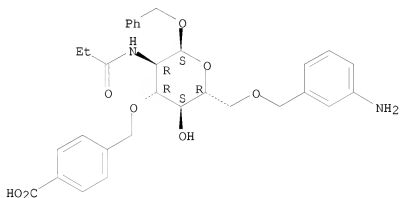
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L16 16 SEA SSS FUL L14

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L16 ANSWER 1 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 905443-60-9 REGISTRY
ED Entered STN: 30 Aug 2006
CN α -D-Glucopyranoside, phenylmethyl
6-O-[(3-aminophenyl)methyl]-3-O-[(4-carboxyphenyl)methyl]-2-deoxy-2-[(1-oxopropyl)amino]- (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H36 N2 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

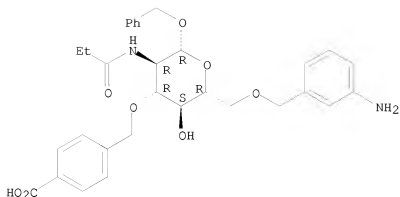


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L16 ANSWER 2 OF 16 REGISTRY COPYRIGHT 2009 ACS on STN
RN 905443-59-6 REGISTRY
ED Entered STN: 30 Aug 2006
CN β -D-Glucopyranoside, phenylmethyl
6-O-[(3-aminophenyl)methyl]-3-O-[(4-carboxyphenyl)methyl]-2-deoxy-2-[(1-oxopropyl)amino]- (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H36 N2 O8
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

| | | |
|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 190.46 | 641.22 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -5.74 |

FILE 'CAPLUS' ENTERED AT 13:57:11 ON 28 MAR 2009
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FILE COVERS 1907 - 28 Mar 2009 VOL 150 ISS 14
FILE LAST UPDATED: 27 Mar 2009 (20090327/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM
L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3
L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR
L6 429 S L3 AND PROTEIN
L7 102 S L6 AND INHIBIT?
L8 4 S L7 AND PAIN
L9 1 S L3 AND GPCR

FILE 'REGISTRY' ENTERED AT 13:51:35 ON 28 MAR 2009

L10 STRUCTURE UPLOADED
L11 0 S L10 SSS SAM
L12 0 S L10 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:52:54 ON 28 MAR 2009

L13 0 S L12

FILE 'REGISTRY' ENTERED AT 13:55:42 ON 28 MAR 2009

L14 STRUCTURE UPLOADED
L15 0 S L14 SSS SAM
L16 16 S L14 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:57:11 ON 28 MAR 2009

=> s l16

L17 2 L16

=> d l16 ed ibib abs hitstr 1-2

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d l17 ed ibib abs hitstr 1-2

L17 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on SIN

ED Entered STN: 10 Aug 2006

ACCESSION NUMBER: 2006:792162 CAPLUS

DOCUMENT NUMBER: 145:224891

TITLE: Classes of compounds that interact with integrins
INVENTOR(S): Meutermans, Wim; West, Michael Leo; Thanh Le, Giang;
Halliday, Judy; Clark, Christopher

PATENT ASSIGNEE(S): Alchemia Limited, Australia

SOURCE: PCT Int. Appl., 44pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

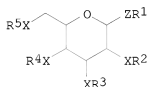
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006081616 | A1 | 20060810 | WO 2006-AU129 | 20060202 |
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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|------------------------|--|----------|------------------|------------|
| AU 2006209794 | A1 | 20060810 | AU 2006-209794 | 20060202 |
| CA 2593749 | A1 | 20060810 | CA 2006-2593749 | 20060202 |
| EP 1843760 | A1 | 20071017 | EP 2006-704810 | 20060202 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| JP 2008528639 | T | 20080731 | JP 2007-553414 | 20060202 |
| US 20080176936 | A1 | 20080724 | US 2007-813737 | 20070711 |
| CN 101111243 | A | 20080123 | CN 2006-80003935 | 20070802 |
| PRIORITY APPLN. INFO.: | | | AU 2005-900499 | A 20050204 |
| | | | WO 2006-AU129 | W 20060202 |

OTHER SOURCE(S): MARPAT 145:224891
GI



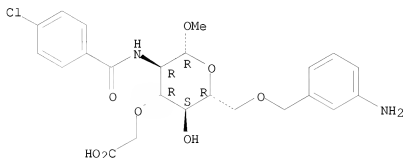
I

AB A method of inhibiting or modulating the activity of an integrin receptor which comprises contacting an integrin with a compound of formula I, or a pharmaceutically acceptable salt. Comps. of formula I wherein the ring may be of any configuration; Z = sulfur, oxygen, CH₂, NH, NRA or hydrogen, Z = hydrogen then R₁ is not present, R_A is selected from the set defined for R₁ to R₅, X = oxygen or NRA providing that at least one X of general formula I = NRA, X may also combine independently with one of R₁ to R₅ to form an azide, R₁ to R₅ independently = H, -(CO)R₆ or alkyl, acyl, alkenyl, alkynyl, heteroalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl of 1-20 atoms which is optionally substituted, and can be branched or linear wherein substituents may optionally be further substituted, wherein R₆ = alkyl, acyl, alkenyl, alkynyl, heteroalkyl, aryl, heteroaryl, arylalkyl or heteroarylalkyl substituent of 1 to 20 atoms, which is optionally substituted, and can be branched or linear wherein substituents may optionally be further substituted, with the proviso that XR₂ or XR₃ or XR₄ or XR₅ is not NH₂, and further not more than one of R₂ to R₅ = H, where the group X is NRA and R_A is not hydrogen, the groups R_A and the corresponding group R₂ to R₅ may combine to form a cycle. Comps. of the invention were assayed for inhibition of integrin receptors αvβ₃ binding to fibrinogen and vitronectin.

IT 905442-51-5 905442-52-6 905442-86-6
905442-87-7 905442-94-6 905442-95-7
905443-38-1 905443-40-5 905443-51-8
905443-52-9 905443-59-6 905443-60-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amino-substituted carbohydrate derivs. as integrin modulators)

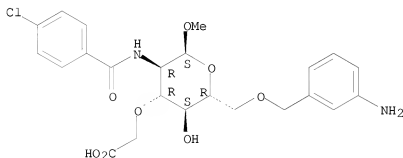
RN 905442-51-5 CAPLUS
 CN β -D-Glucopyranoside, methyl 6-O-[(3-aminophenyl)methyl]-3-O-(carboxymethyl)-2-[(4-chlorobenzoyl)amino]-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



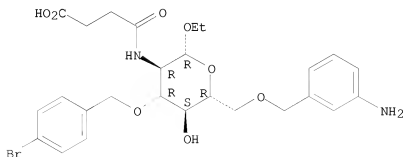
RN 905442-52-6 CAPLUS
 CN α -D-Glucopyranoside, methyl 6-O-[(3-aminophenyl)methyl]-3-O-(carboxymethyl)-2-[(4-chlorobenzoyl)amino]-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 905442-86-6 CAPLUS
 CN β -D-Glucopyranoside, ethyl 6-O-[(3-aminophenyl)methyl]-3-O-[(4-bromophenyl)methyl]-2-[(3-carboxy-1-oxopropyl)amino]-2-deoxy- (9CI) (CA INDEX NAME)

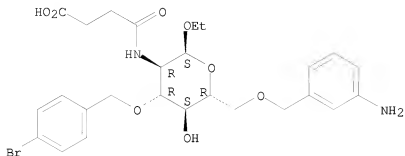
Absolute stereochemistry.



RN 905442-87-7 CAPLUS
 CN α -D-Glucopyranoside, ethyl 6-O-[(3-aminophenyl)methyl]-3-O-[(4-bromophenyl)methyl]-2-[(3-carboxy-1-oxopropyl)amino]-2-deoxy- (9CI) (CA INDEX NAME)

INDEX NAME)

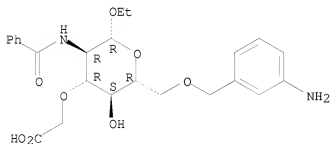
Absolute stereochemistry.



RN 905442-94-6 CAPLUS

CN β -D-Glucopyranoside, ethyl 6-O-[(3-aminophenyl)methyl]-2-(benzoylamino)-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

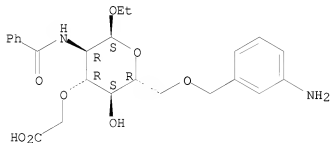
Absolute stereochemistry.



RN 905442-95-7 CAPLUS

CN α -D-Glucopyranoside, ethyl 6-O-[(3-aminophenyl)methyl]-2-(benzoylamino)-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

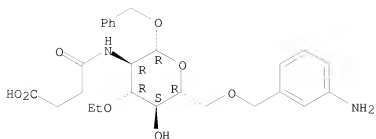
Absolute stereochemistry.



RN 905443-38-1 CAPLUS

CN β -D-Glucopyranoside, phenylmethyl 6-O-[(3-aminophenyl)methyl]-2-[(3-carboxy-1-oxopropyl)amino]-2-deoxy-3-O-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

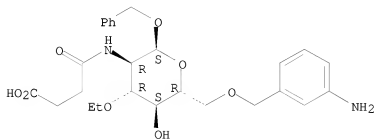


RN 905443-40-5 CAPLUS

CN α -D-Glucopyranoside, phenylmethyl

6-O-[(3-aminophenyl)methyl]-2-[(3-carboxy-1-oxopropyl)amino]-2-deoxy-3-O-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

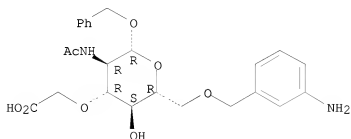


RN 905443-51-8 CAPLUS

CN β -D-Glucopyranoside, phenylmethyl

2-(acetylamino)-6-O-[(3-aminophenyl)methyl]-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

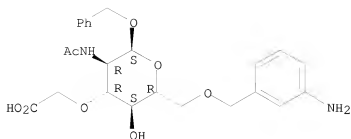


RN 905443-52-9 CAPLUS

CN α -D-Glucopyranoside, phenylmethyl

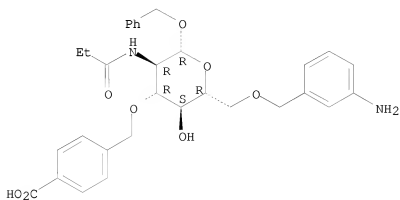
2-(acetylamino)-6-O-[(3-aminophenyl)methyl]-3-O-(carboxymethyl)-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



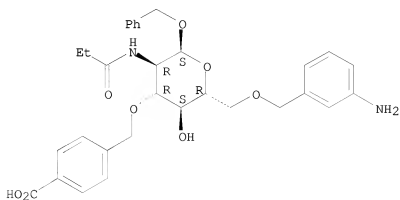
RN 905443-59-6 CAPLUS
 CN β -D-Glucopyranoside, phenylmethyl
 6-O-[(3-aminophenyl)methyl]-3-O-[(4-carboxyphenyl)methyl]-2-deoxy-2-[(1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 905443-60-9 CAPLUS
 CN α -D-Glucopyranoside, phenylmethyl
 6-O-[(3-aminophenyl)methyl]-3-O-[(4-carboxyphenyl)methyl]-2-deoxy-2-[(1-oxopropyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ED Entered STN: 23 Apr 2004

ACCESSION NUMBER: 2004:333585 CAPLUS

DOCUMENT NUMBER: 140:350624

TITLE: Tetrahydropyran compounds that interact with G

protein-coupled receptors (GPCRs)

INVENTOR(S): Meutermans, Wim; Thanh, Giang Le; Abbenante, Giovanni;

Tometzki, Gerald; Halliday, Judy; Zeugg, Johannes

PATENT ASSIGNEE(S): Alchemia Pty. Ltd., Australia

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

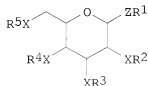
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2004032940 | A1 | 20040422 | WO 2003-AU1347 | 20031010 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2499677 | A1 | 20040422 | CA 2003-2499677 | 20031010 |
| AU 2003266858 | A1 | 20040504 | AU 2003-266858 | 20031010 |
| AU 2003266858 | B2 | 20060914 | | |
| EP 1549325 | A1 | 20050706 | EP 2003-747740 | 20031010 |
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| CN 1703228 | A | 20051130 | CN 2003-8010113 | 20031010 |
| JP 2006504718 | T | 20060209 | JP 2004-542106 | 20031010 |
| US 20060223764 | A1 | 20061005 | US 2003-530851 | 20031010 |
| IN 2005KN00858 | A | 20060609 | IN 2005-KN858 | 20050511 |
| IN 2007KN03028 | A | 20071130 | IN 2007-KN3028 | 20070817 |
| PRIORITY APPLN. INFO.: | | | AU 2002-951995 | A 20021011 |
| | | | WO 2003-AU1347 | W 20031010 |
| | | | IN 2005-KN858 | A3 20050511 |

OTHER SOURCE(S): MARPAT 140:350624

GI



I

AB The invention discloses a method of inhibiting or effecting the activity of a GPCR which comprises contacting a GPCR with a compound I [Z = S, O, NRA (RA = R1-R5, C1-15 acyl, etc.); X = O, NRA; R1-R5 = H, C1-12 alkyl, C4-15 aryl, etc.; with provisos; ring may be of any configuration], or a pharmaceutically acceptable salt thereof. Libraries of compds. of the

invention were tested for activity in assays using melanocortin and somatostatin receptors.

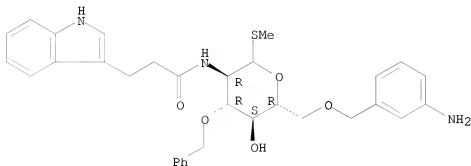
IT 681150-28-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tetrahydropyran compds. that interact with G protein-coupled receptors)

RN 681150-28-7 CAPLUS

CN D-Glucopyranoside, methyl 6-O-[(3-aminophenyl)methyl]-2-deoxy-2-[[3-(1H-indol-3-yl)-1-oxopropyl]amino]-3-O-(phenylmethyl)-1-thio- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:28:49 ON 28 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:29:01 ON 28 MAR 2009

L1 STRUCTURE UPLOADED

L2 50 S L1 SSS SAM

L3 23950 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:32:41 ON 28 MAR 2009

L4 8909 S L3

L5 2 S L4 AND G (W) PROTEIN (W) COUPLED (W) RECEPTOR

L6 429 S L3 AND PROTEIN

L7 102 S L6 AND INHIBIT?

L8 4 S L7 AND PAIN

L9 1 S L3 AND GPCR

FILE 'REGISTRY' ENTERED AT 13:51:35 ON 28 MAR 2009

L10 STRUCTURE UPLOADED

L11 0 S L10 SSS SAM

L12 0 S L10 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:52:54 ON 28 MAR 2009

L13 0 S L12

FILE 'REGISTRY' ENTERED AT 13:55:42 ON 28 MAR 2009

L14 STRUCTURE UPLOADED

L15 0 S L14 SSS SAM

L16 16 S L14 SSS FULL

FILE 'CAPLUS' ENTERED AT 13:57:11 ON 28 MAR 2009

L17

2 S L16